REMARKS

According to the elected claim group, the assignments to the index n are modified by this amendment without prejudice of introducing the non-elected assignment through continuation/divisional practice.

As indicated in the Office Action dated 08/14/2003, various claims are generic, including claim 1. Other than non-statutory double patenting rejections, no other rejections have been asserted and Applicants understand that the additional species to the one chosen for the purpose of suggesting a search direction have been examined according to standard practice when dealing with various species.

The claim amendments introduced herein delete subject matter that is intended to be claimed in co-pending application serial number 09/947,041, filed on September 5, 2001, that is under examination by Examiner Cybille DeLacroix Muirhei. Therefore, the claim amendments introduced herein are not narrowing amendments because the deleted subject matter is intended to be claimed in the related case cited herein.

The new claims introduced hereby are supported in, for example, the related case serial number 09/928,122, filed on August 10, 2001, and also by the present application written description at, *inter alia*, p. 6, *ll*. 3-6, 19-25. This related case is under examination by Examiner Richard L. Raymond. An Election/Restriction Requirement and a subsequent office action asserting claim rejections have issued in this related case. Copies of these actions are attached hereto.

The new claims correspond to subject matter that was cited in the Office Action as supporting the double patenting rejection of the pending claims. In particular, the Office Action indicates that "asthma recited in claims 46-47 of application '122 is an allergic disorder." Applicants intend to cancel without prejudice claims 46-47 in Application US 09/928,122. Applicants respectfully submit that these actions render the non-statutory double patenting rejection moot and request the withdrawal of such rejection.

An Election/Restriction Requirement that is presently withdrawn and a subsequent Election/Restriction Requirement have issued in the case 09/947,041. Copy of the latter action is attached hereto.

The related-application data introduced by this amendment are not the addition of new benefit claims, but simply claims that had been asserted elsewhere in the filing

materials. For example, priority claims are asserted in the oath/declaration submitted with the filing materials.

The Office Action indicates that Applicants elected without traverse "invention group II, claims 1-8, and compound of example 25". Applicants agree that the election of claims in Group II was made without traverse. As to compound 25, however, Applicants respectfully clarify that in the Response filed on September 4, 2003, it was set forth that "Applicants reserve the right to traverse any species election requirement until the time Applicants are notified of a concrete species classification for election purposes, if one were eventually asserted." Furthermore, Applicants noted in the same Response that the Examiner "directed Applicants to identify a type of compound for the purpose of suggesting a search direction". Applicants cannot meaningfully traverse a species election requirement because no species identification has been made in any Office Action other than the characterization of the alleged species as comprising "various compounds herein employed." Office Action 08/14/2003, p. 3, item 5.

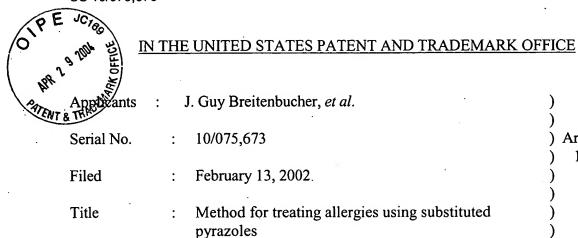
Applicants respectfully request favorable consideration of the present Response to place the present application in condition for allowance.

Respectfully submitted,

By: Jesús Juanós i Timoneda, PhD Reg. No. 43,332

Johnson & Johnson One Johnson & Johnson Plaza New Brunswick, NJ 08933-7003 (732) 524-1513

Dated: April 29, 2004



Examiner : Shengjun WANG

Confirmation Number: 2680

Attachments to Amendment and Response "B"

Art Unit

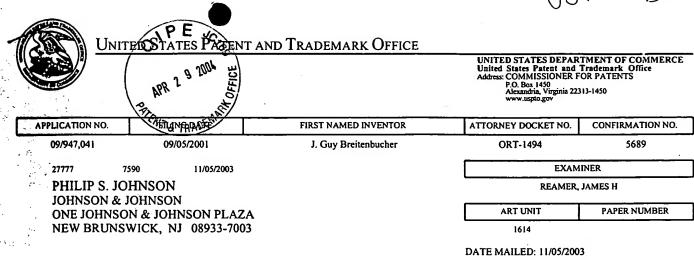
1617 .

Copies of the following Office Actions are part of this Attachment:

Office Action US 09/947,041 dated 11/05/2003 (4 sheets)

Office Action US 09/928,122 dated 12/11/2003 (18 sheets)

Office Action US 09/928,122 dated 10/23/2002 (6 sheets)



Please find below and/or attached an Office communication concerning this application or proceeding.

Restriction Requiement due 12/05/03

RECEIVED

NOV - 7 2003

J&J PAT. DKT. SECTION

9	Application No.	Applicant(s)
DIFE	09/947,041	BREITENBUCHER ET AL.
Offic Action Summary	Examiner	Art Unit
APR 2 9 2001	James H. Reamer	1614
The MAILING DATE of this communication ap	pears on the cover sheet with the o	orrespondence address
eriod for Reply	VIO OCT TO EVOIDE 4 MONTH	C) CDOM
A SHORTENED STATUTORY PERIOD FOR REPL THE MAILING DATE OF THIS COMMUNICATION. - Extensions of time may be available under the provisions of 37 CFR 1. after SIX (6) MONTHS from the mailing date of this communication. - If the period for reply specified above is less than thirty (30) days, a rep - If NO period for reply is specified above, the maximum statutory period - Failure to reply within the set or extended period for reply will, by statut - Any reply received by the Office later than three months after the mailing earned patent term adjustment. See 37 CFR 1.704(b).	136(a). In no event, however, may a reply be tirely within the statutory minimum of thirty (30) day will apply and will expire SIX (6) MONTHS from e. cause the application to become ABANDONE	nely filed s will be considered timely. the mailing date of this communication. D (35 U.S.C. § 133).
Status	May 2002	
1) Responsive to communication(s) filed on 22		
/	his action is non-final.	resecution as to the merits is
3) Since this application is in condition for allow closed in accordance with the practice under	Ex parte Quayle, 1935 C.D. 11,	153 O.G. 213.
Disp sition of Claims	,	
4) Claim(s) 1-8 is/are pending in the application		
4a) Of the above claim(s) is/are withdra	awn from consideration.	
5) Claim(s) is/are allowed.		
6) Claim(s) is/are rejected.		
7) Claim(s) is/are objected to.		
8) Claim(s) <u>1-8</u> are subject to restriction and/or e	election requirement.	·
Application Papers		•
9) The specification is objected to by the Examine		minor
10) The drawing(s) filed on is/are: a) acce		
Applicant may not request that any objection to the 11) The proposed drawing correction filed on		
If approved, corrected drawings are required in re	•	i i i i i i i i i i i i i i i i i i i
12) The oath or declaration is objected to by the E		
Priority under 35 U.S.C. §§ 119 and 120		
13) Acknowledgment is made of a claim for foreig	in priority under 35 U.S.C. § 119(a	a)-(d) or (f).
a) ☐ All b) ☐ Some * c) ☐ None of:		, , , , ,
1. Certified copies of the priority documen	ts have been received.	
2. Certified copies of the priority documen		ion No
3. Copies of the certified copies of the price	ority documents have been receive	
application from the International Be * See the attached detailed Office action for a list	ureau (PCT Rule 17.2(a)). t of the certified copies not receive	ed.
14) Acknowledgment is made of a claim for domes	tic priority under 35 U.S.C. § 119(e) (to a provisional application).
 a) The translation of the foreign language pr 15) Acknowledgment is made of a claim for domes 		
Attachment(s)		
 Notice of References Cited (PTO-892) Notice of Draftsperson's Patent Drawing Review (PTO-948) Information Disclosure Statement(s) (PTO-1449) Paper No(s) 	5) Notice of Informal	y (PTO-413) Paper No(s) Patent Application (PTO-152)

plication/Control Number: 09/947,041

Art Unit: 1614



DETAILED ACTION

Election/Restrictions

This application contains claims directed to the following patentably distinct species of the claimed invention: The species of examples 1 to 45.

Applicant is required under 35 U.S.C. 121 to elect a single disclosed species for prosecution on the merits to which the claims shall be restricted if no generic claim is finally held to be allowable. Currently, claim 1 is generic.

Applicant is advised that a reply to this requirement must include an identification of the species that is elected consonant with this requirement, and a listing of all claims readable thereon, including any claims subsequently added. An argument that a claim is allowable or that all claims are generic is considered nonresponsive unless accompanied by an election.

Upon the allowance of a generic claim, applicant will be entitled to consideration of claims to additional species which are written in dependent form or otherwise include all the limitations of an allowed generic claim as provided by 37 CFR 1.141. If claims are added after the election, applicant must indicate which are readable upon the elected species. MPEP § 809.02(a).

Should applicant traverse on the ground that the species are not patentably distinct, applicant should submit evidence or identify such evidence now of record showing the species to be obvious variants or clearly admit on the record that this is the case. In either instance, if the examiner finds one of the inventions unpatentable over

pplication/Control Number: 09/947,041

Art Unit: 1614

the prior art, the evidence or admission may be used in a rejection under 35 U.S.C. 103(a) of the other invention.

Applicant is reminded that upon the cancellation of claims to a non-elected invention, the inventorship must be amended in compliance with 37 CFR 1.48(b) if one or more of the currently named inventors is no longer an inventor of at least one claim remaining in the application. Any amendment of inventorship must be accompanied by a request under 37 CFR 1.48(b) and by the fee required under 37 CFR 1.17(i).

Any inquiry concerning this communication or earlier communications from the examiner should be directed to James H. Reamer whose telephone number is (703) 308-4461. The examiner can normally be reached on 5:30 AM to 2:00 PM Monday-Thursday.

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Marianne Seidel can be reached on (703) 308-4725. The fax phone number for the organization where this application or proceeding is assigned is (703) 872-9306.

Any inquiry of a general nature or relating to the status of this application or proceeding should be directed to the receptionist whose telephone number is (703) 308-0196.

James H. Reamer Primary Examiner Art Unit 1614

JHR 05 November 2003



United States Patent and Trademark Office

UNITED STATES DEPARTMENT OF COMMERCE United States Patent and Trademark Office Address: COMMISSIONER FOR PATENTS P.O. Box 1450 Alexandria, Virginia 22313-1450

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APPLICATION NO.	1 V	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
09/928,122		6840AOADEWI	J. Guy Breitenbucher	ORT-1478	6262
27777	7590	12/11/2003		EXAM	INER

PHILIP S. JOHNSON JOHNSON & JOHNSON ONE JOHNSON & JOHNSON PLAZA

NEW BRUNSWICK, NJ 08933-7003

RECEIVED

DEC 1 5 2003

RAYMOND, RICHARD L **ART UNIT** PAPER NUMBER 1624

DATE MAILED: 12/11/2003

J&J PAT. DKT. SECTION

Please find below and/or attached an Office communication concerning this application or proceeding.

Response due: 03/11/04

OIPE)
APR 2 9 2004	Application N .	Applicant(s)
APR Z 3 ZOUL	09/928,122	BREITENBUCHER ET AL.
Office Action Summary	Examin r	Art Unit
	Richard L. Raymond	1624
The MAILING DATE of this communication app	ears on the cov r sheet wi	th the correspondence address
Period f r Reply A SHORTENED STATUTORY PERIOD FOR REPLY THE MAILING DATE OF THIS COMMUNICATION. - Extensions of time may be available under the provisions of 37 CFR 1.1: after SIX (6) MONTHS from the mailing date of this communication. - If the period for reply specified above is less than thirty (30) days, a reply - If NO period for reply is specified above, the maximum statutory period of Failure to reply within the set or extended period for reply will, by statute - Any reply received by the Office later than three months after the mailing earned patent term adjustment. See 37 CFR 1.704(b). Status 1) Responsive to communication(s) filed on 14 A	Y IS SET TO EXPIRE 3 M 36(a). In no event, however, may a r y within the statutory minimum of thir will apply and will expire SIX (6) MON , cause the application to become Al g date of this communication, even if ugust 2003. action is non-final. Ince except for formal mat Ex parte Quayle, 1935 C.I. It. Item from consideration.	ONTH(S) FROM eply be timely filed ty (30) days will be considered timely. ITHS from the mailing date of this communication. BANDONED (35 U.S.C. § 133). timely filed, may reduce any ters, prosecution as to the merits is
Application Papers	. •	
9)☐ The specification is objected to by the Examin	er.	·
10) The drawing(s) filed on is/are: a) ac	cepted or b)☐ objected to	b by the Examiner.
Applicant may not request that any objection to the	e drawing(s) be held in abey	ance. See 37 CFR 1.85(a).
Replacement drawing sheet(s) including the correct	ction is required if the drawin	g(s) is objected to. See 37 CFR 1.121(d).
11) The oath or declaration is objected to by the E	xaminer. Note the attach	ed Office Action of form P10-132.
Priority under 35 U.S.C. §§ 119 and 120		
12) Acknowledgment is made of a claim for foreign a) All b) Some * c) None of: 1. Certified copies of the priority documer 2. Certified copies of the priority documer 3. Copies of the certified copies of the priority application from the International Bureation * See the attached detailed Office action for a list 13) Acknowledgment is made of a claim for domest since a specific reference was included in the first sentence of 14) Acknowledgment is made of a claim for domest reference was included in the first sentence of	nts have been received. Into have been received in ority documents have been au (PCT Rule 17.2(a)). Into of the certified copies notice priority under 35 U.S. (irst sentence of the specific priority under 35 U.S.)	Application No en received in this National Stage of received. C. § 119(e) (to a provisional application) fication or in an Application Data Sheet. been received. C. §§ 120 and/or 121 since a specific
Attachment(s) 1) Notice of References Cited (PTO-892) 2) Notice of Draftsperson's Patent Drawing Review (PTO-948) 3) Information Disclosure Statement(s) (PTO-1449) Paper No(s)	5) Notice	w Summary (PTO-413) Paper No(s) of Informal Patent Application (PTO-152)



Application/Control Number: 09/928,122

Art Unit: 1624



DETAILED ACTION

Election/Restrictions

1. Applicants have elected the invention of Group I and the species of example 25.

Claim 8, limited to the nonelected elected subject matter of Group II (compounds where R⁵ and R⁶ do not form a fused ring), stands withdrawn from further consideration pursuant to 37 CFR 1.142(b), as being drawn to a nonelected invention.

Improper Markush Rejection

- 2. Claims 1-7 and 9-50 are rejected as being improper Markush claims in the definition of the XYZ, R⁵, R⁶ and n variables as set forth in the five groups of the restriction requirement. The resulting total compounds lack a significant common core and are structurally diverse and patentable distinct one from the others. A reference anticipating one under 35 USC 102 would not be a reference against the others under 35 USC 103. Further, diverse fields of search in the US classification system and the literature (STN/CAS) are involved. Limitation of the claims to compounds where XYZ is monocyclic or fuses with W/ R¹, R⁵ and R⁶ together form pyridine or carbocyclic rings and n is 1, encompassing the elected species, will overcome this rejection.
- 3. The claims have been searched and examined to the extent that they read on the above grouped invention.



Application/Control Number: 09/928,122

Art Unit: 1624

Claim Rejections - 35 USC § 102 / 35 USC § 103

4. The following is a quotation of the appropriate paragraphs of 35 U.S.C. 102 that form the basis for the rejections under this section made in this Office action:

A person shall be entitled to a patent unless -

- (b) the invention was patented or described in a printed publication in this or a foreign country or in public use or on sale in this country, more than one year prior to the date of application for patent in the United States.
- 5. The following is a quotation of 35 U.S.C. 103(a) which forms the basis for all obviousness rejections set forth in this Office action:
 - (a) A patent may not be obtained though the invention is not identically disclosed or described as set forth in section 102 of this title, if the differences between the subject matter sought to be patented and the prior art are such that the subject matter as a whole would have been obvious at the time the invention was made to a person having ordinary skill in the art to which said subject matter pertains. Patentability shall not be negatived by the manner in which the invention was made.
- 6. Claims 1-7 and 9-50 are rejected under 35 U.S.C. 102(a and b) as anticipated by or, in the alternative, under 35 U.S.C. 103(a) as obvious over any of the three Database X references or the British patent X reference cited on applicants' corresponding PCT Search Report or any of the five Chemical Abstracts articles cited on the Form PTO-892. These references all disclose compounds within the present claims. Where not anticipated, one would be motivated to prepare the present compounds from within the generic teachings of the references and/or to prepare the present simple alkyl homologs, halo analogs and position isomers of the specific compounds of the references with the reasonable expectation of obtaining additional useful pharmaceuticals. In the absence of a showing of unexpected properties, no patentable significance is seen in the present selection.



Application/Control Number: 09/928,122

Art Unit: 1624

7. This application currently names joint inventors. In considering patentability of the claims under 35 U.S.C. 103(a), the examiner presumes that the subject matter of the various claims was commonly owned at the time any inventions covered therein were made absent any evidence to the contrary. Applicant is advised of the obligation under 37 CFR 1.56 to point out the inventor and invention dates of each claim that was not commonly owned at the time a later invention was made in order for the examiner to consider the applicability of 35 U.S.C. 103(c) and potential 35 U.S.C. 102(e), (f) or (g) prior art under 35 U.S.C. 103(a).

Miscellaneous

8. It is requested that a copy of the IDS filed October 1, 2002 (Paper #5) be supplied to complete the record.

Any inquiry concerning this communication or earlier communications from the examiner should be directed to Richard L. Raymond whose telephone number is (703) 308-4523. The examiner can normally be reached on Monday-Thursday (9:30AM-8:00PM)).

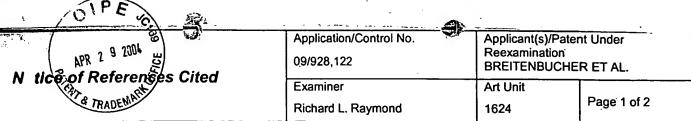
If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Mukund J. Shah can be reached on 305-4716. The fax phone number for the organization where this application or proceeding is assigned is (703) 872-9306.

Any inquiry of a general nature or relating to the status of this application or proceeding should be directed to the receptionist whose telephone number is (703) 308-1235.

Richard L. Raymond Primary Examiner Art Unit 1624

rr

December 10, 2003



U.S. PATENT DOCUMENTS

	Document Number Country Code-Number-Kind Code	Date MM-YYYY	Name	Classification
Α	US-			
В	US-			
С	US-			
D	US-			
Е	US-			
F	US-			
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j	US-			
К	US-			
L	US-			
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FOREIGN PATENT DOCUMENTS

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NON-PATENT DOCUMENTS

*	-	Include as applicable: Author, Title Date, Publisher, Edition or Volume, Pertinent Pages)					
	U	Paluchowska et al., Chemical Abstracts, Vol. 134:36671, 2000.					
	٧	Paluchowska et al., Chemical Abstracts, Vol. 132:245821, 1999.					
	w	Lavielle et al., Chemical Abstracts, Vol. 130:237561, 1999.					
	x	Andronati et al., Chemical Abstracts, Vol. 130:276243, 1999.					

*A copy of this reference is not being furnished with this Office action. (See MPEP § 707.05(a).) Dates in MM-YYYY format are publication dates. Classifications may be US or foreign.

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				Richard L. F	Raymond	1624	Page 2 of 2
				U.S. PATENT DOCUM	IENTS		
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*		Include as applicable: Author, Title Date, Publisher, Edition or Volume, Pertinent Pages)
	U	Fukuda et al., Chemical Abstracts, Vol. 123:83356, 1995.
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*A copy of this reference is not being furnished with this Office action. (See MPEP § 707.05(a).)

Dates in MM-YYYY format are publication dates. Classifications may be US or foreign.

Applicatsops

INDEX NAME)

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REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS on STN L10 ANSWER 7 OF 14

ACCESSION NUMBER:

2000:575148 CAPLUS

DOCUMENT NUMBER:

134:36671

TITLE:

Influence of the aliphatic spacer length on the 5-HT1A

receptor activity of new arylpiperazines with an

indazole system

AUTHOR(S):

Paluchowska, Maria H.; Duszynska, Beata; Klodzinska,

Aleksandra; Tatarczynska, Ewa

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (2000), 52(3), 209-216.

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Novel arylpiperazines, contg. a terminal 1- or 2-indazolyl fragment and a di- or tetramethylene aliph. spacer, were synthesized and their 5-HT1A: and in the 5-HT2A receptor affinities were detd. All those compds. showed a potent who affinity for 5-HT1A receptors (Ki = 5-16 nM) and were evaluated of forman - HTTA veceptor () intrinsic activity at those receptors. To det. a 5-HT1A sagenistic yeffect new 5-HT1A re of the investigated compds., their ability to induce a lower lipto payment against the or retraction in rats and a behavioral syndrome (flat body posture land description) forepaw treading) in reserpinized rats were tested, whereas their 5-HT1A produced by 8-hydroxy-2-(di-n-propylamino)tetralin hydrobromide (8-OH-DPAT). The effect of spacer length on the 5-HT1A activity of the results indica tested compds. was discussed in comparison with that of the 3-methylene. analogs described earlier. Both dimethylene derivs, were scharacterized sasumate must not weak postsynaptic 5-HT1A receptor antagonists. Compds. 1-indazolyl analog and 2-indazolyl analog, with a tetramethylene aliph. chain were classified as a postsynaptic 5-HT1A antagonist and a partial 5-HT1A agonist; respinitely shade shade Furthermore, the latter showed a moderate anxiolytic-like reffect o (conflict tidy); nece drinking Vogel's test in rats) and a weak antidepressant-like activity affinity of (forced swimming Porsolt's test in rats). 184535-35-1 CAPLOS IT. 313053-44-0P 3H-100/2200/p-2-1-[5-[4-22-meilmoo

RL: BAC (Biological activity or effector, except adverse) : BSU ... (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(arylpiperazines, new 5-HT1A receptor ligands)

RN 313053-44-0 CAPLUS

1H-Indazole, 1-[4-[4-(2-methoxyphenyl)-1-piperaziny[]buty[] dihydrochloride (9CI) (CA INDEX NAME)

 $(CH_2)_3$

2 HCl

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:807683 CAPLUS

DOCUMENT NUMBER: TITLE:

132:245821 Structure-activity relationship studies of CNS agents. 40. Effect of the amide fragment on 5-HT1A receptor

activity of some analogs of MP 3022

AUTHOR (S):

Paluchowska, Maria H.; Charakchieva-Minol, Sijka;

Tatarczynska, Ewa; Klodzinska, Aleksandra

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (1999), 51(5), 415-421

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE:

Journal English

ANGUAGE:

AB A new set of analogs of MP 3022 (1) contg. the amide bond inserted into the intermediate chain linking the terminal heteroarom, and 1-(2-methoxyphenyl) piperazine moieties were prepd. and their 5-HT1A and 5-HT2A receptor affinities were detd. Only compds. with trimethylene chain between amide and arylpiperazine fragments showed satisfactory affinity for 5-HT1A receptor (Ki = 42-87 nM) and high 5-HT2A/5-HT1A silinity for 5 selectivity. The new 5-HT1A receptor ligands were investigated in vivo to terminal indazole fragment or with Ph substituent behaved like weak 5-HT1A receptor antagonists. The structure-affinity relationship studies in this series of compds. revealed that the amide group along with the terminal arom. fragments contributed to interaction with 5-HT1A receptor sites, whereas in vivo results indicated that introduction of the amide group into presented arylpiperazine structures was not a profitable modification

IT 184535-35-1

CN

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); process); BSO (Biological study); PROC (Process); USES (Uses)

(5-HT1A receptor affinity of MP 3022 analogs)

for their 5-HT1A functional activity.

5-HTIA rac 184535-35-1

RN 184535-35-1 CAPLUS

1H-Indazole, 1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) (CA H-Indazole)

INDEX NAME)

I MERLEN MERRE)

engilmenseri

2 HCl

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:807683 CAPLUS

DOCUMENT NUMBER:

132:245821

TITLE:

Structure-activity relationship studies of CNS agents.

40. Effect of the amide fragment on 5-HT1A receptor

activity of some analogs of MP 3022

AUTHOR(S):

Paluchowska, Maria H.; Charakchieva-Minol, Sijka;

Tatarczynska, Ewa; Klodzinska, Aleksandra

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (1999), 51(5), 415-421

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE:

Journal '

LANGUAGE:

English

An ew set of analogs of MP 3022 (1) contg. the amide bond inserted into the intermediate chain linking the terminal heteroarom. and 1-(2-methoxyphenyl)piperazine moieties were prepd. and their 5-HT1A and 5-HT2A receptor affinities were detd. Only compds. with trimethylene chain between amide and arylpiperazine fragments showed satisfactory affinity for 5-HT1A receptor (Ki = 42-87 nM) and high 5-HT2A/5-HT1A receptor selectivity. The new 5-HT1A receptor ligands were investigated in vivo to the new det. their 5-HT1A agonistic or antagonistic properties. Compds: with receptor antagonists. The structure-affinity relationship studies in this series of compds. revealed that the amide group along with the terminal arom. fragments contributed to interaction with 5-HT1A receptor sites, whereas in vivo results indicated that introduction of the amide group into presented arylpiperazine structures was not a profitable modification for their 5-HT1A functional activity.

IT 184535-35,-1

RL: BAC (Biological activity or effector, except adverse); BPRL(Biological activity or effector); BPRL(Biological

(5-HT1A receptor affinity of MP 3022 analogs)

RN 184535-35-1 CAPLUS

(1-404A njerptor a PM 104535 35-1 capjug

N 1H-Indazole, 1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-: (9Ch)nax(Ch. : [3-[4

INDEX NAME)

09/288,556

REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS on STN L10 ANSWER 9 OF 14

ACCESSION NUMBER:

1999:193935 CAPLUS

DOCUMENT NUMBER:

130:237561

TITLE:

Indole and indazole derivatives, process for their preparation and the pharmaceutical compositions

containing them

INVENTOR(S):

Lavielle, Gilbert; Muller, Olivier;

Vayssettes-Courchay, Christine; Descombes,

Jean-Jacques; Verbeuren, Tony

PATENT ASSIGNEE(S):

Adir et Compagnie, Fr. Eur. Pat. Appl., 28 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE KIND PATENT NO. ______ EP 1998-402154 19980901 19990317 EP 902027 , A1 20010725 EP 902027 B1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO 19970903 FR 1997-10939 19990305 **A1** FR 2767827 19980901 BR 1998-3318 20000208 Α BR 9803318 19980901 AT 1998-402154 20010815 Е AT 203531 ES 1998-402154 19980901 20011216 T3 ES 2162404 NO 1998-4033 19980902 Α 19990304 NO 9804033 19980902 ·CN 1998-124581 19990602 Α CN 1218052 20020717 В CN 1087741 NZ 1998-331683 19980902 20000128 Α NZ 331683 19980902 US 1998-146009 20000201 Α US 6020336 19980903 CA 1998-2246485 CA 2245405 19990303 AA CA 2246485 ZA 1998-8072 19980903 24 9808072 19990309 A ZA 9808072 19980903 AU 1998-83068 · · · · 09833558 19990318 A1 AU 9883068 All 736602: 20010802 B2 AU 736602 19980903 JP 1998-249314 22 11122211 19990518 **A2** JP 11130773 US 1999-299314 19990426 Α 20000404 US 6046205 HK 1999-104871 19991028 een energe ger **A1** 20021101 HK 1019738 FR 1997-10939 19970903 PRIOR TO THE PRINCE OF THE PRI Α PRIORITY APPLN. INFO.: US 1998-146009 A3 19980902

OTHER SOURCE(S):

MARPAT 130:237561

GΙ

TORER POURCEIE:

AB. ... The title compds: In [name 0, x1] A . in bond, alkylene, alkenylene; X AAN, GR2 title comp where R2 = H, alkyl; R1 = H, alkyl; G1 = pyrrolidinyl, piperidyl where R2 = H, optionally substituted] were prepd. E.g., 1-{3-[4-(5-methoxypyrimidin-1; constly only yl)piperazin-1-yl]propyl}-6-([1,2,4]triazol-4-yl)indole dihydrochloride was prepd. Effect of I on contraction of saphenous vein of dogs or rabbits was detd.

221249-30-5P IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole and indazole derivs. and their effect on saphenous vein contraction)

221249-30-5 CAPLUS RN

1H-Indazole, 1-[3-[4-(5-methoxy-4-pyrimidinyl)-1-piperazinyl]propyl]-6-(4H-CN (CA INDEX NAME) 1,2,4-triazol-4-yl)- (9CI)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:148062 CAPLUS

DOCUMENT NUMBER:

130:276243

TITLE:

AUTHOR (S):

SOURCE:

Synthesis of 3-aryl-1-[(4-phenyl-1-

piperazinyl)butyl]indazole derivatives and their affinity to 5-HT1a serotonin and dopamine D1 receptors

Andronati, S.; Sava, Vassil; Makan, S.; Kolodeev, G.

CORPORATE SOURCE:

Bogatsky Physico-Chemical Institute, Nat. Acad. Sci. Ukraine, Odessa, 270086, Ukraine

Pharmazie (1999), 54(2), 99-101 CODEN: PHARAT; ISSN: 0031-7144

Govi-Verlag Pharmazeutischer Verlag PUBLISHER:

DOCUMENT TYPE:

Journal English

LANGUAGE: Eight 3-arylindazole derivs. were synthesized and their affinity to 5-HT1A serotonin and D1 dopamine receptors was investigated by radioligand anal. . Quant. structure-activity relationships were studied using the Free-Wilson model. An increase in affinity to dopamine D1 receptors within substituents Br>C1>CH3 at the 5-position of the 3-arylindazole mol. was obsd. Addn. of a Cl2 atom to the ortho-position the of Ph ring let to even higher activity. Replacement of the H2 atom at the 1st position of the 3-arylindazole on the (phenylpiperazine) butyl substituent caused an increase of affinity and did not change the trends of affinity dependence on structure. An inverse dependence on the structure of the studied compds. was obsd. for the serotonin 5-HT1A receptors. Compds. contg. a Me group at the 5-position of mol. were more active than compds. contg. halogens. A Cl2 atom at the ortho-position of the Ph ring decreased affinity. Replacement of the H2 atom at the 1st position of the mol. on the (phenylpiperazine) butyl substituent led to an increase in affinity. Selectivity of the studied compds. varied within a wide range. Generally, the presence of the 3-arylindazole fragment in the new buspirone analogs. increased their affinity to dopamine receptors and reduced their affinity to serotonin receptors. Compds. contg. a Br2 atom in the 3-arylindazole moiety may be promising ligands for D1 receptors. IT

163434-05-7P 163434-06-8P 163434-07-9P

163434-08-0P

SCHERCE:

DOCTIMENT TYPE.

1,03475 11

yl)piperazin-1-yl]propyl}-6-([1,2,4]triazol-4-yl)indole dihydrochloride was prepd. Effect of I on contraction of saphenous vein of dogs or rabbits was detd.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological TT study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole and indazole derivs. and their effect on saphenous vein contraction)

221249-30-5 CAPLUS

1H-Indazole, 1-[3-[4-(5-methoxy-4-pyrimidinyl)-1-piperazinyl]propyl]-6-(4H-RNCN 1,2,4-triazol-4-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS on STN L10 ANSWER 10 OF 14

ACCESSION NUMBER:

1999:148062 CAPLUS

DOCUMENT NUMBER:

130:276243

TITLE:

Synthesis of 3-aryl-1-[(4-phenyl-1-

piperazinyl)butyl]indazole derivatives and their

affinity to 5-HT1a serotonin and dopamine D1 receptors Andronati, S.; Sava, Vassil; Makan, S.; Kolodeev, G. Bogatsky Physico-Chemical Institute, Nat. Acad. Sci.

AUTHOR (S):

CORPORATE SOURCE: Ukraine, Odessa, 270086, Ukraine

Pharmazie (1999), 54(2), 99-101

SOURCE: CODEN: PHARAT; ISSN: 0031-7144

Govi-Verlag Pharmazeutischer Verlag.

PUBLISHER:

Journal

DOCUMENT TYPE: .

English

Eight 3-arylindazole derivs. were synthesized and their affinity to 5-HT1A LANGUAGE: serotonin and D1 dopamine receptors was investigated by radioligand anal...

Quant. structure-activity relationships were studied using the Free-Wilson model. An increase in affinity to dopamine D1 receptors within substituents Br>Cl>CH3 at the 5-position of the 3-arylindazole mol. was obsd. Addn. of a Cl2 atom to the ortho-position the of Ph ring let to even higher activity. Replacement of the H2 atom at the 1st position of the 3-arylindazole on the (phenylpiperazine) butyl substituent caused an increase of affinity and did not change the trends of affinity dependence of a on structure. An inverse dependence on the structure of the studied AND DESCRIPTION compds. was obsd. for the serotonin 5-HT1A receptors. Compds. contg. a Megas was group at the 5-position of mol. were more active than compds. contg. group at the halogens. A Cl2 atom at the ortho-position of the Ph ring decreased pallogenus. affinity. Replacement of the H2 atom at the 1st position of the mol. on the (phenylpiperazine) butyl substituent led to an increase in affinity. Selectivity of the studied compds. varied within a wide range. Generally, the presence of the 3-arylindazole fragment in the new buspirone analogs increased their affinity to dopamine receptors and reduced their affinity to serotonin receptors. Compds. contg. a Br2 atom in the 3-arylindazole serotonin moiety may be promising ligands for Di receptors. wow moiety may b

163434-05-7P 163434-06-8P 163434-07-9P IT 163434-08-0P

CN

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of 3-arylindazole derivs. and their affinity to 5-HTla serotonin and dopamine D1 receptors)

RN

163434-05-7 CAPLUS
1H-Indazole, 5-chloro-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN

163434-06-8 CAPLUS 1H-Indazole, 5-bromo-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl] monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN .163434-07-9 CAPLUS

1H-Indazole, 5-bromo-3-(2-chlorophenyl)-1-[4-(4-phenyl-1-CN

piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEXHNAME)

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REFERENCE COUNT:

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336:4738**0**

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09/288,556

163434-08-0 CAPLUS RN

1H-Indazole, 5-methyl-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl] -, CNmonohydrochloride (9CI) (CA INDEX NAME)

escalments have better thing :

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS COUNT: 17 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS on STN L10 ANSWER 11 OF 14

ACCESSION NUMBER: DOCUMENT NUMBER:

1996:701302 CAPLUS 126:47180

TITLE:

Structure-activity relationship studies of CNS agents. Part 31. Analogs of MP 3022 with a different number of nitrogen atoms in the heteroaromatic fragment. New 5-HT1A receptor ligands

..... Pałuchowska, Maria H.; Deren-Wesolek, Anna; Mokrosz, (S): AUTHOR (S): Jerzy L.; Charakchieva-Minol, Sijka; Chojnacka-Wojcik, Ewa

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5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(1,2-benzisothiazol-RN3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro-, CN 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-400804-92-4 CAPLUS (9QI) (CA YNDEX piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro NAME)

©.q.,,Q. - Q2; m +.0-2; A = C3 that both A and B .noteq. M . maio, lower (marcy alkyl), turn cyamo: R2., R3 = H. Helo. idial when W = bond, E2 is no and a second of the second

L12 ANSWER 5 OF 5 ACCESSION NUMBER: DOCUMENT NUMBER:

INVENTOR (S):

COPYRIGHT 2003 ACS on STN CAPLUS 1995:682542 CAPLUS

up a telebry for the conf Preparation of 3-(1-piperazinyl)-1,2-benzis@thtagole4-(lilinomobut derivatives with antipsychotic effect0 degrees form4 had give 6 Fukuda, Yoshimasa; Sasaki, Toshiro; Nakatani, 3Yuuko; pertakinyi) i Ichimaru, Yasuyuki; Imanishi, Taiichiropended in DMF and tripse

PATENT ASSIGNEE (S):

Meiji Seika K. K., Japan

SOURCE:

PCT Int. Appl., 95 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9418197	A1 19940818	WO 1994-JP159	19940203
CONT TO	KR, US CH, DE, DK, ES,	FR, GB, GR, IE, IT, LU	, MC, NL, PT, SE 19940203
DD C25506	Δ1 19950125	FR, GB, IT, LI, NL, SE	17740203
CN 1103534 CN 1050604	A 19950607 В 20000322	CN 1994-190042	19940203
US 5599815	. A 19970204		19941220 19930204
PRIORITY APPLN. INFO). : ⁻	WO 1994-JP1 A	19940104 19940203

OTHER SOURCE(S):

MARPAT 123:83356

G i

Compds. represented by general formula [I; n = 2-4; W = heterocyclyl, e.g., Q - Q2; m = 0-2; A = CH2, CH, N, NH; B = CH2, CH, N, NH, S; provided AΒ that both A and B .noteq. N or NH; X = CH, N, S, bond; Y = CH, N; R1 = Hat both A and halo, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2H10, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower (halo)alkyl, cyano; R2, R3 = H, halo, lower (halo) alkyl or alkoxy, NH2, cyano, provided, R2, R3 that when X = bond, R2 is not present; or R2R3 = (CH2)p (wherein p = 3.5), when A and pharmacol. acceptable salts thereof, reduced in the adverse effect against the extrapyramidal system and hence useful as an antipsychotic agent with few side effects, are prepd. Thus, 0.29 g 2-hydroxyquinoline was dissolved in DMF and treated with 80 mg NaH at 60.degree. for 30 minwith stirring followed by cooling the reaction mixt. to room temp. and adding 2.16 g 1,4-dibromobutane and the resulting mixt. was stirred at adding 2.16 g 60.degree for 4 h to give 64% 1-(4-bromobutyl)-2(1H)-quinolinone (II)-60 degree for II 0.56, 3-(1-piperazinyl)-1,2-benzisothiazole 0.44, and K2CO3 0.33 g were, 3-(1 suspended in DMF and stirred at room temp. for 12 h to give 80% title

IΤ

compd. I (n = 4, W = 2-oxo-1, 2-dihydro-1-quinolinyl). II (n = 4, W = 9-carbazolyl) and II (n = 3, W = 2-oxo-1, 2-dihydro-1-quinolinyl) showed ED50 of 1.15 and 0.92 mg/kg i.p., resp., for inhibiting methamphetamine-induced spontaneous movement of mice (vs. 0.16 and 1.05 mg/kg i.p. for haloperidol and chlorpromazine, resp.) and induced catalepsy in mice at ED50 of >100 and 83.3 mg/kg i.p. in mice (vs. 1.3 and 6.2 mg/kg i.p. for haloperidol and chlorpromazine, resp.).

165109-38-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [N-(heterocyclylalkyl)piperazinyl]benzisothiazole derivs. as

antipsychotics)

RN 165109-38-6 CAPLUS

CN 1,2-Benzisothiazole, 3-[4-[4-(3-chloro-1H-indazol-1-yl)butyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE United States Patent and Trademark Office Address: COMMISSIONER OF PATENTS AND TRADEMARKS Washington, D.C. 20231 www.uspto.gov

APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
09/928.122 08/10/2001		08/10/2001 J. Guy Breitenbucher		6262
21111	1590 10/23/2002 .			
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NEW BRUNS	WICK, NJ 08933-7003		ART UNIT	PAPER NUMBER
			1624	
	.:		DATE MAILED: 10/23/2002	6

Please find below and/or attached an Office communication concerning this application or proceeding.

£	.,		A 11 - 41-3		
	OIPE	Application No. 09/928,122		TENBUCH	IER et al.
ce Action Summa	ary	Examiner Emily Bernha		Init 1624	
£	APR 2 3 200	6	l l		
Reply PRINTENED STATUTORY PERIOD F AILING DATE OF THIS COMMUNITY ONE of time may be available under the provisions	OR REPLY IS SET NICATION. s of 37 CFR 1.136 (a). In	TO EXPIRE	MONTH(S) F	ROM .	
eriod for reply specified above is less than thirty of eriod for reply is specified above, the maximum s	statutory period Will appry bly will, by statute, cause s after the mailing date of	the application to become ABA	NDONED (35 U.S.C. §	133).	aunication.
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Responsive to communication(s)					•
This action is FINAL.				. •	
Since this application is in condit closed in accordance with the pr	ion for allowance ractice under <i>Ex p</i>	e except for formal moarte Quayle, 1935 C	atters, prosecution. D. 11; 453 O.G	on as to t	he merits is
tion of Claims			:-/	أحداده المحالمة	ho application
Claim(s) <u>1-50</u>					
Claim(s)			is/a	re allowe	d. ·
Claim(s)			is/a	re rejecte	ed.
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			ject to restriction	n and/or e	election requirement
ation Papers					•
The specification is objected to	by the Examiner.				
The drawing(s) filed on	is/a	are a) \square accepted or	b)□ objected t	o by the	Examiner.
Applicant may not request that a	ny objection to the	e drawing(s) be held in	abeyance. See 3	7 CFR 1.8	5(a).
		is: a)[approved b)	☐ disappı	roved by the Exami
If approved, corrected drawings	are required in rep	ly to this Office action	,		
The oath or declaration is objec	ted to by the Exa	miner.			
v under 35 U.S.C. §§ 119 and 12	<u>20</u>				
Acknowledgement is made of a	a claim for foreign	priority under 35 U.	S.C. § 119(a)-(d) or (f).	
☐ All b)☐ Some* c)☐ Nor	ne of:				·
1. Certified copies of the price	ority documents h	nave been received.			
2. Certified copies of the price	ority documents h	nave been received in	Application No.		× ·.
application from th	ne International Bi	ureau (PC) Ruie 17.2	(a)).	iis Nation	al Stage
				,	
The translation of the foreign	language provisi	onal application has t	LISC 88 120:	and/or 12	1
Acknowledgement is made of a	a claim for domes	stic priority under 35	0.3.0. 33 120 8	1110/01 12	••
ment(s)		4) T Interview Summe	ry (PTO-413) Paper No	(s).	
Notice of Draftsperson's Patent Drawing Review	(PTO-948)	5) [_] Notice of Information	il Patent Application (PI	0-152)	
	The MAILING DATE of this comments of the MAILING DATE OF THIS COMMULIANS OF THIS COMMULIANS OF THIS COMMULIANS of time may be available under the provisions date of this communication. Seriod for reply specified above is less than thirty strict for reply is specified above, the maximum is one reply within the set or extended period for reply by the Office later than three months patent term adjustment. See 37 CFR 1.704(b). Responsive to communication(s) This action is FINAL. Since this application is in conditions of Claims Claim(s) C	Reply RTENED STATUTORY PERIOD FOR REPLY SET ALLING DATE OF THIS COMMUNICATION. Interest time may be available under the provisions of 37 CFR 1.136 (a). It is date of this communication. Interest of reply specified above is less than thirty (30) days, a reply within a reply is specified above, the maximum statutory period will apply to reply within the set or extended period for reply will, by statute, cause by received by the Office later than three months after the mailing date of patent term adjustment. See 37 CFR 1.704(b). Responsive to communication(s) filled on	CCE Action Summary The MAILING DATE of this communication appears on the cover sheet wing Reply RETENED STATUTORY PERIOD FOR REPUTY SET TO EXPIRE 1 AILLING DATE OF THIS COMMUNICATION. The of time may be evalible under the provisions of 37 CFR 1.136 (a). In no event, however, may a registed of the communication. The office provision of the provision of 37 CFR 1.136 (a). In no event, however, may a registed or they be specified above is less than thirty (30) days, a reply within the statutory minimum of therefore they expected of the provision of Claims. Claim(s) 1-50 Laim(s) 1-50	Ce Action Summary The MAILING DATE of this communication appears on the cover sheet with the corresponding Reply RTENED STATUTORY PERIOD FOR REPLY SET TO EXPIRE 1 MONTH(S) F. AILING DATE OF THIS COMMUNICATION. The word time may be available under the provision of 37 CFR 1.136 (a). In no event, however, may a reply be timely filed after 8 date of this communication. The one of time may be available under the provision of 37 CFR 1.136 (a). In no event, however, may a reply be timely filed after 8 date of this communication. The one of the may be available under the provision of 37 CFR 1.136 (a). In no event, however, may a reply be timely filed after 8 date of this communication. The one of the may be available under the provision of 37 CFR 1.136 (a). In no event, however, may a reply be timely filed after 8 date of this communication of the provision of 12 CFR 1.704 (b). This action is final. 2b) This action is non-final. Since this application is in condition for allowance except for formal matters, prosecutic closed in accordance with the practice under Ex parte Quayle, 1935 C.D. 11; 453 O.G. (claim(s) 1.50 is/are per 1.50 is/are per 1.50 is/are per 1.50 is/are per 1.50 is/are with the provision of 12 Claim(s) 1.50 is/are with the control of 12 Claim(s) 1.50 is/are with the control of 12 Claim(s) 1.50 is/are with the control	The MAILING DATE of this communication appears on the cover sheet with the correspondence address the provision appears on the cover sheet with the correspondence address to the correction of

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Restriction to one of the following inventions is required under 35 U.S.C. 121:

- I. Claims 1-50 drawn to compounds, compositions and uses where n=1 and R5/R6 forms pyridine or carbocyclic ring and XYZ ring is monocyclic or further fused at W/R1, classified in class 544, subclasses such as 295,362 and others as determined by the nature of substituents permitted thereon, and class 514 subclasses 252.18,253.04, etc.
- II. Claims 1-8,11-26,29-31,35,38,42-50, drawn to compounds, compositions and uses where n=1 and R5/R6 does not further fuse and XYZ is as defined in group I, classified in class 544, subclasses such as 371,etc; class 514 subclass 254.05.
- III. Claim 38, drawn to bipyrazinyl species (see for example 1st species in claim 38 and 4th one on p.147), classified in class 544, subclass 357.
- IV. Claims 1-32,42-50, drawn to compounds, compositions and uses where n=1 not provided for by I-II above, classified in classes,

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subclasses as determined by the exact nature of fused rings permitted on either end of azine ring and substituents thereon.

V. Claims 1-32 and 42-50, drawn to compounds, compositions ad uses where n=2, classified in class 540, subclass 575; class 514 subclass 218.

In addition to an election of one of the above groups, applicants are required to elect a single species embracive of said group. If IV or V is elected further restriction as was done for groups I-II at XYZ and R5/R6 would be required.

The inventions are distinct, each from the other because of the following reasons: They embrace compounds having different cores and/or with varying substitution permitted at both ends of the azine rings which are differently classified, require separate literature searches and would be expected to raise different issues of patentability- at the very least which is evidenced by art cited in applicants' international search report. Thus each of the groups can support a patent and the compounds are capable of additional uses other than that embraced herein.

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Applicant is reminded that upon the cancellation of claims to a non-elected invention, the inventorship must be amended in compliance with 37 CFR 1.48(b) if one or more of the currently named inventors is no longer an inventor of at least one claim remaining in the application. Any amendment of inventorship must be accompanied by a request under 37 CFR 1.48(b) and by the fee required under 37 CFR 1.17(i).

Applicant is advised that the reply to this requirement to be complete must include an election of the invention to be examined even though the requirement be traversed (37 CFR 1.143).

Any inquiry concerning this communication should be directed to Emily Bernhardt at telephone number (703) 308-4714.

A facsimile center has been established for Group 1600. The hours of operation are Monday through Friday, 8:45 AM to 4:45 PM. The telecopier numbers for accessing the facsimile machine are (703) 308-4556 or (703) 305-3592.

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FBeinhard EMILY BERNHARDT

PRIMARY EXAMINER

GROUP 1600